

Fig. 1

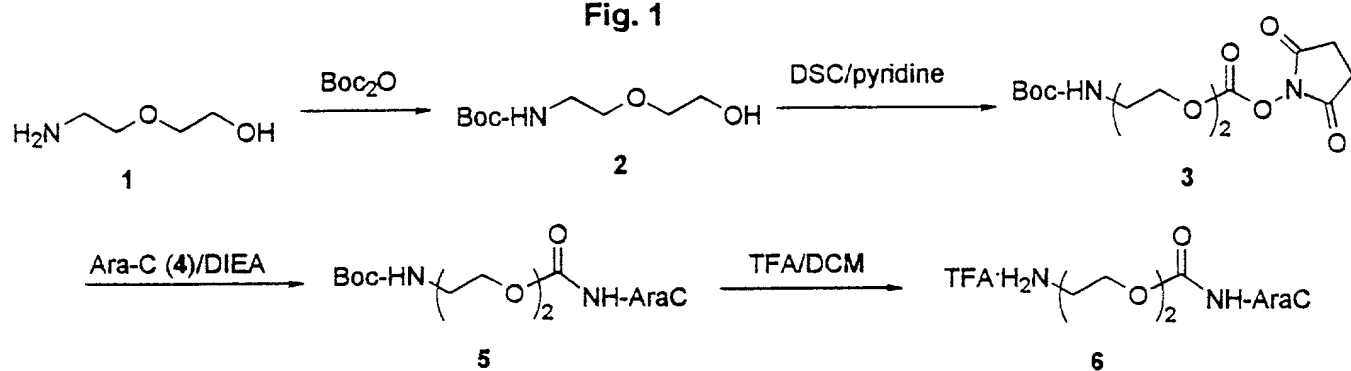


Fig. 2

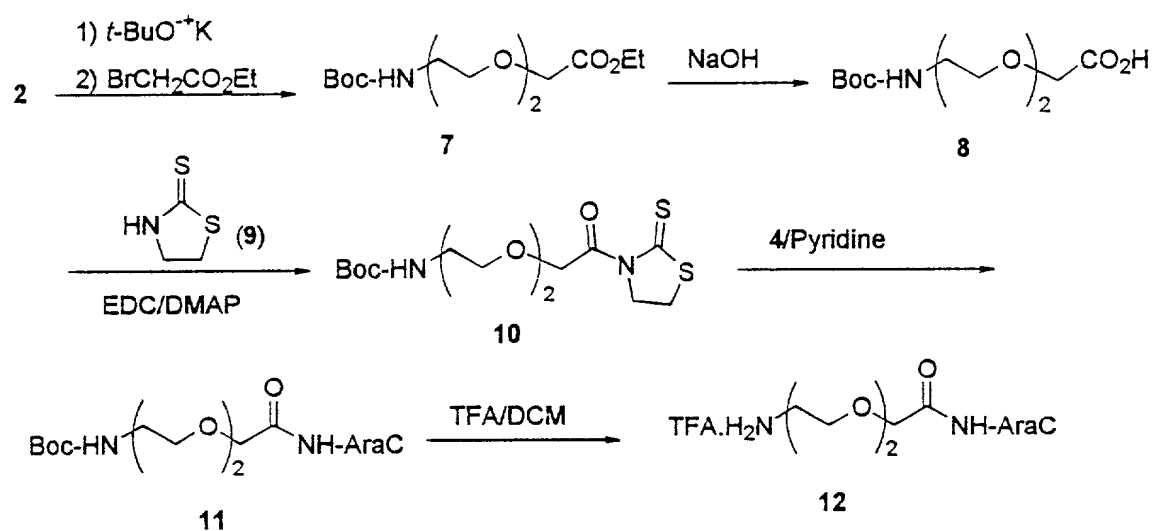


Fig. 3

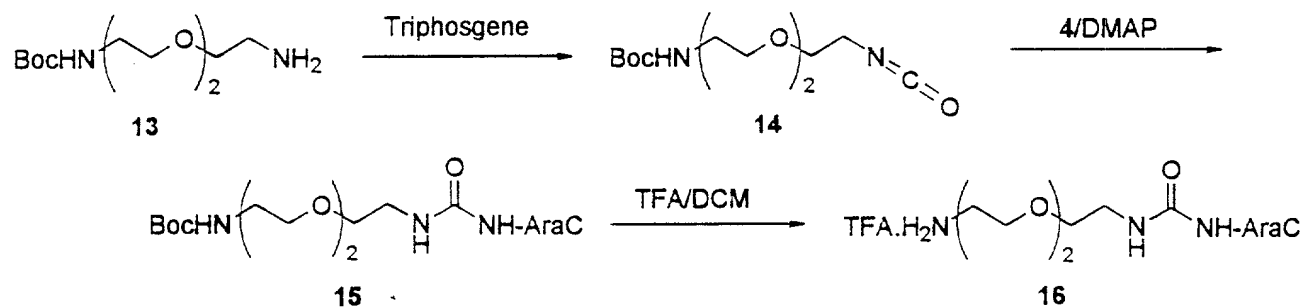


Fig. 4

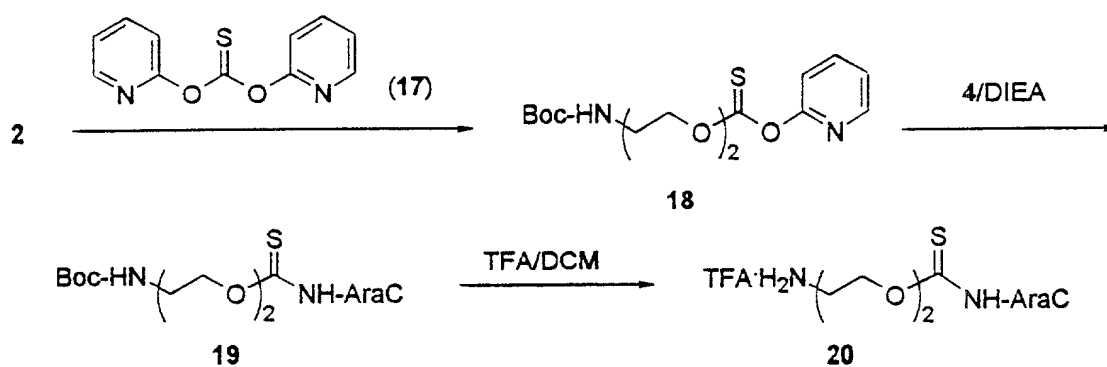


Fig. 5

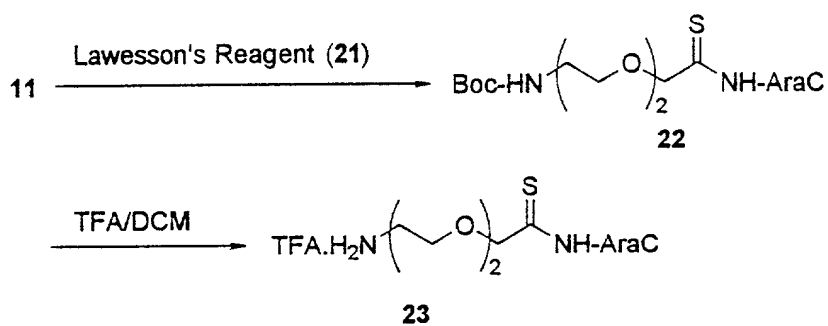


Fig. 6

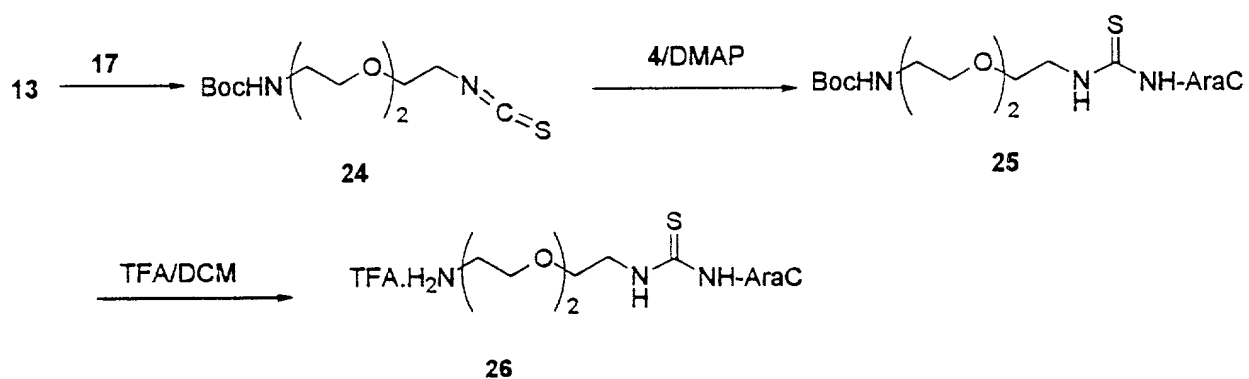


Fig. 7

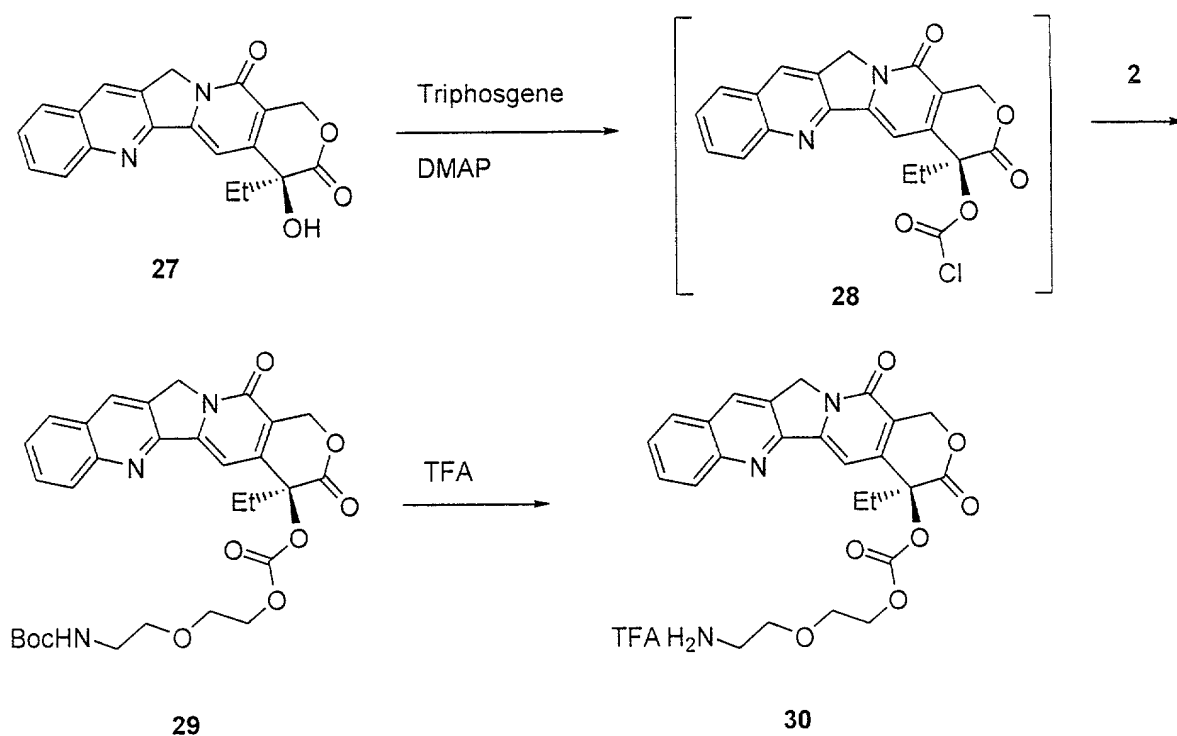


Fig. 8

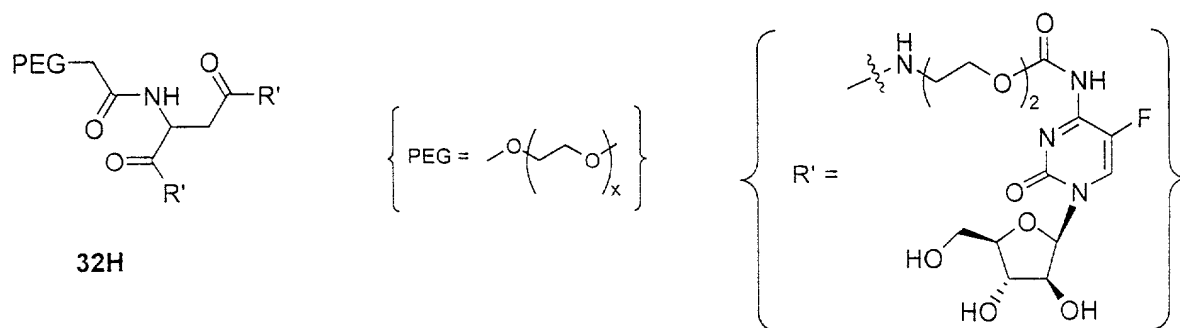
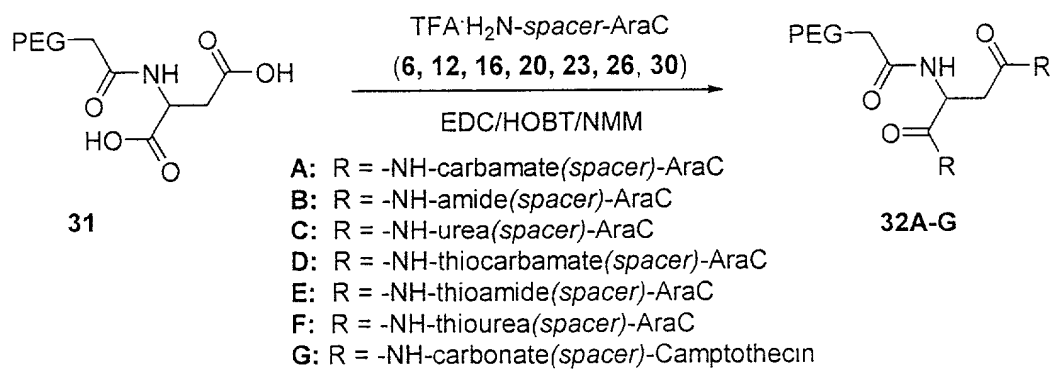


Fig. 9

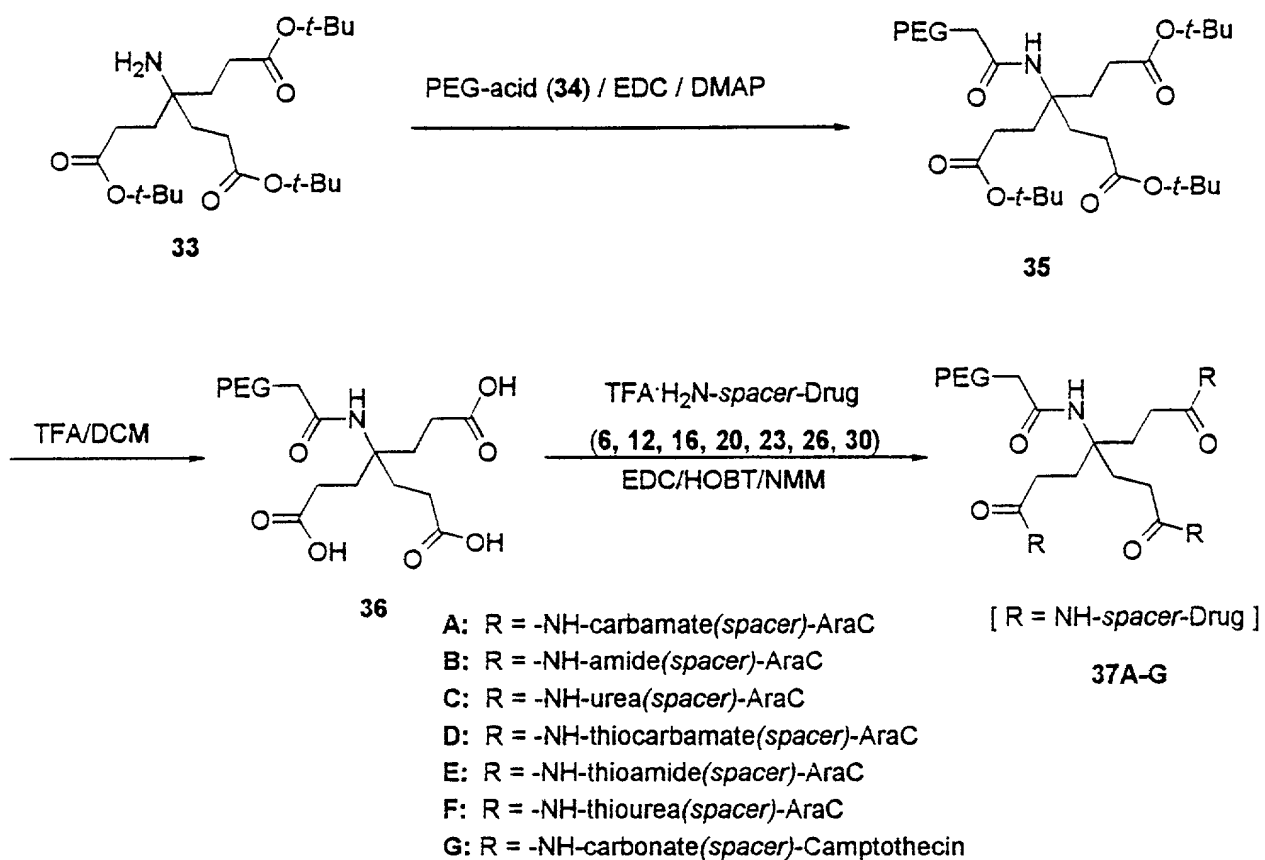
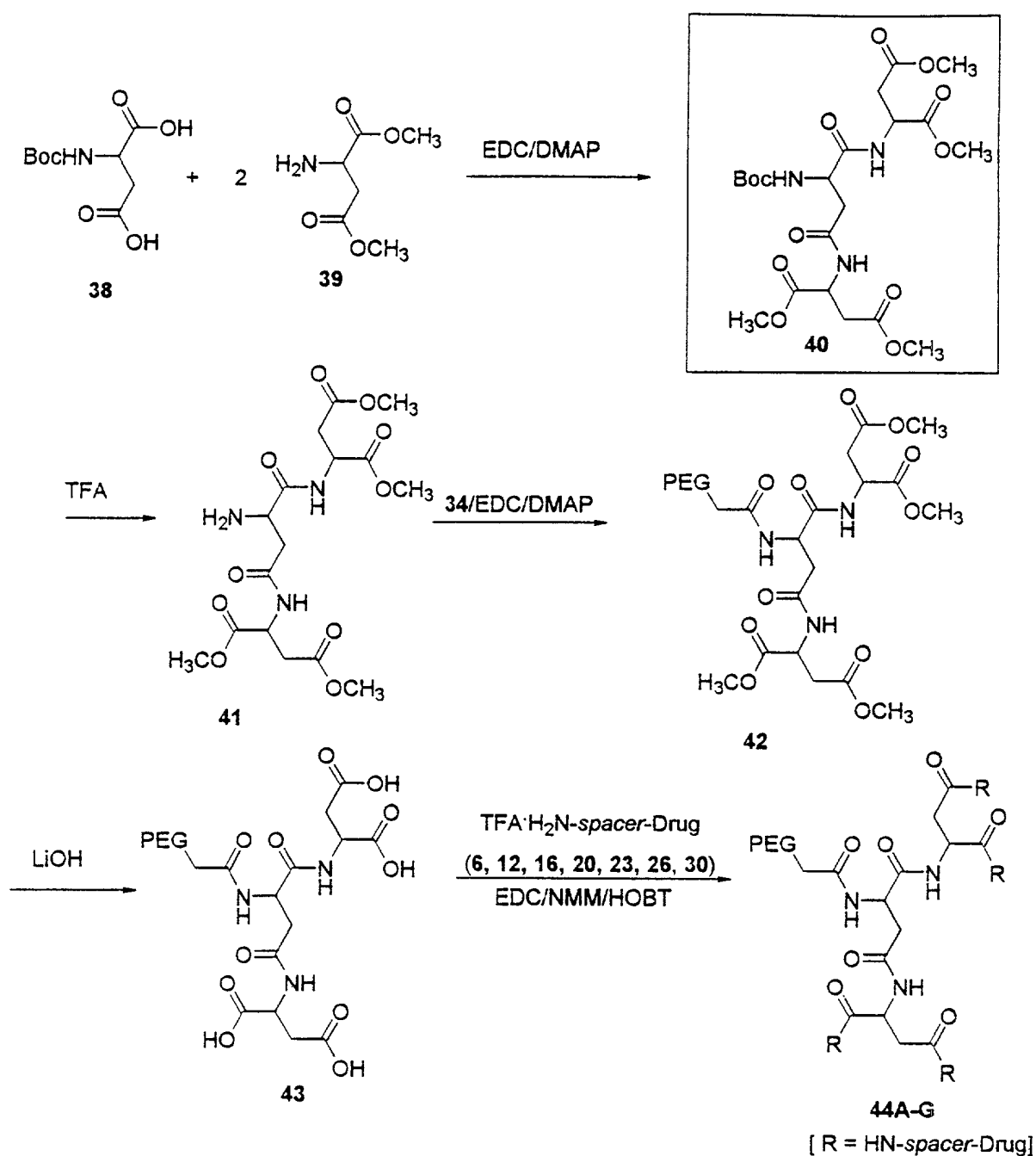
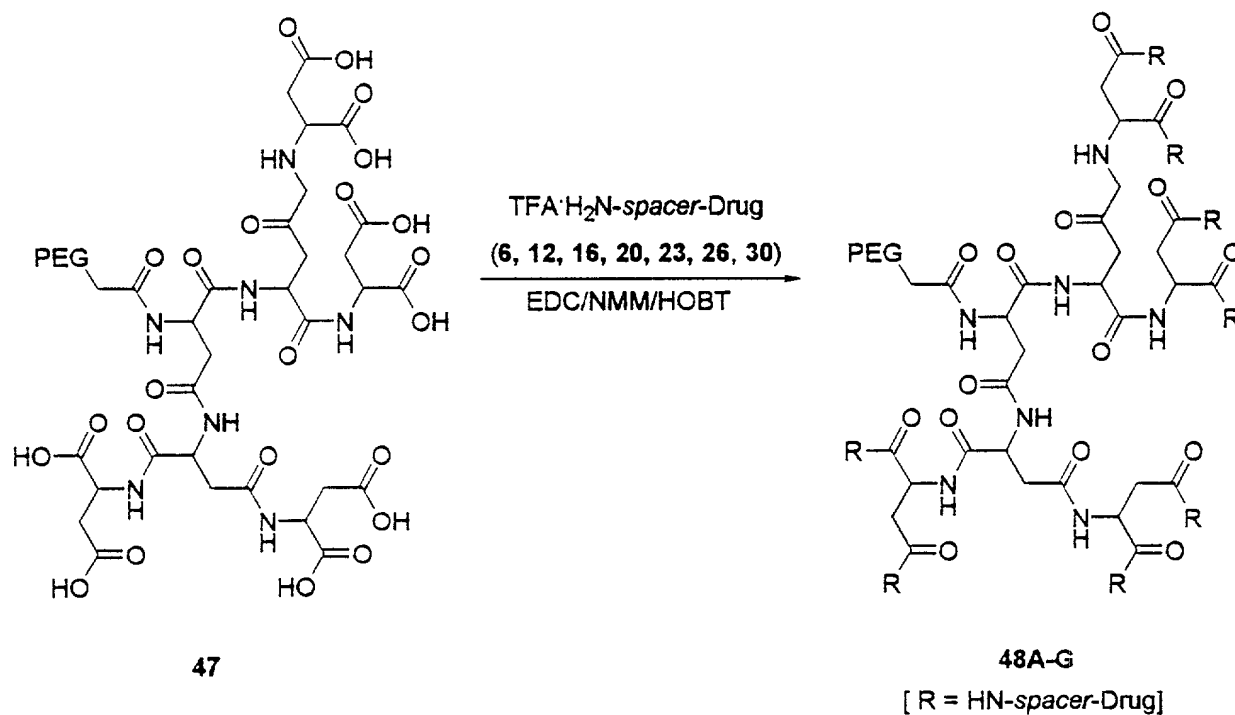
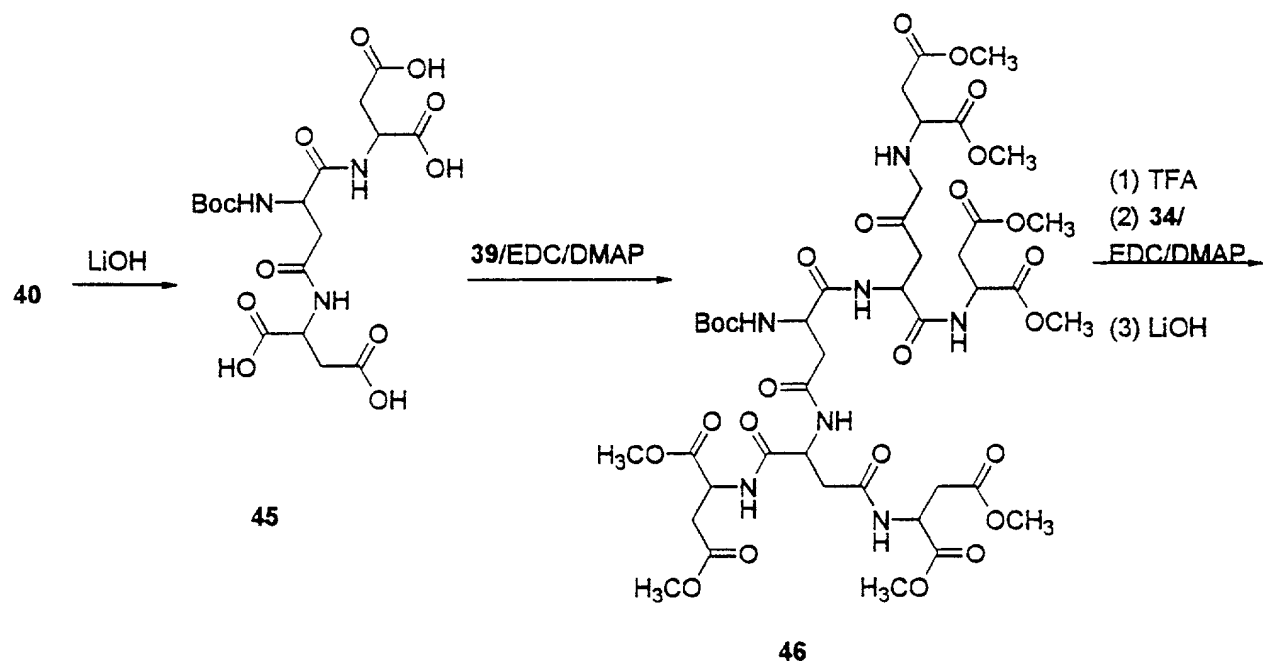


Fig. 10



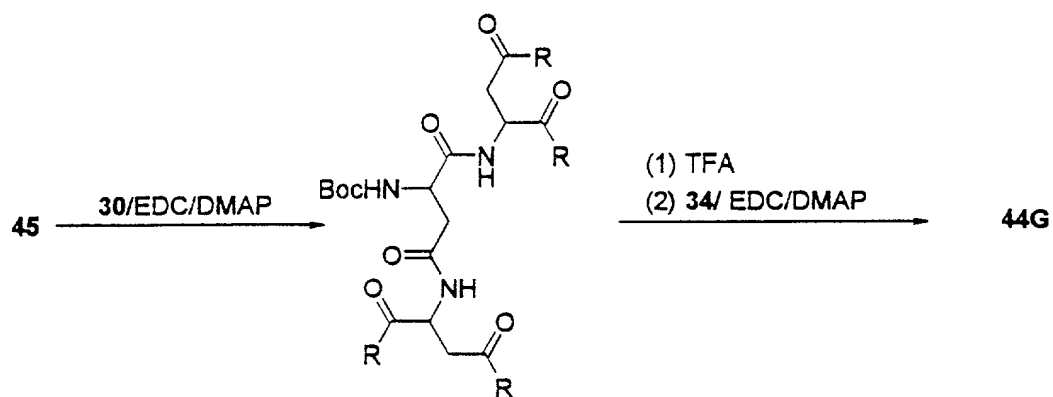
- A: R = -NH-carbamate(spacer)-AraC
- B: R = -NH-amide(spacer)-AraC
- C: R = -NH-urea(spacer)-AraC
- D: R = -NH-thiocarbamate(spacer)-AraC
- E: R = -NH-thioamide(spacer)-AraC
- F: R = -NH-thiourea(spacer)-AraC
- G: R = -NH-carbonate(spacer)-Camptothecin

Fig. 11



- A: R = -NH-carbamate(spacer)-AraC
- B: R = -NH-amide(spacer)-AraC
- C: R = -NH-urea(spacer)-AraC
- D: R = -NH-thiocarbamate(spacer)-AraC
- E: R = -NH-thioamide(spacer)-AraC
- F: R = -NH-thiourea(spacer)-AraC
- G: R = -NH-carbonate(spacer)-Camptothecin

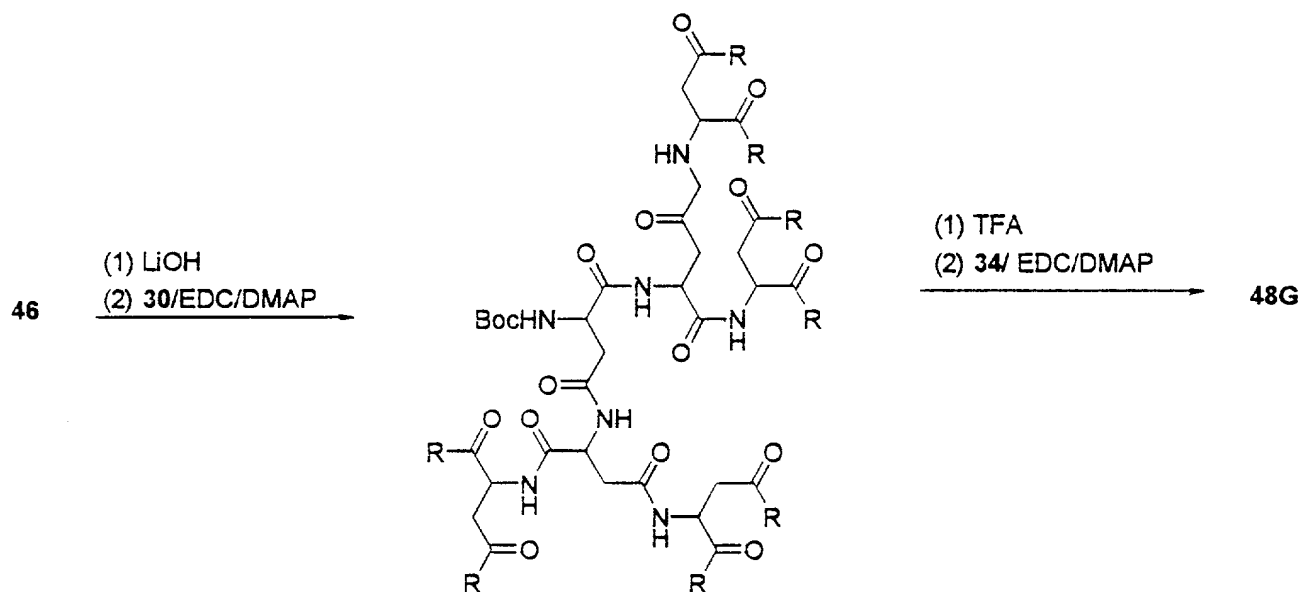
Fig. 12



49

[R = NH-carbonate (*spacer*)-Camptothecin]

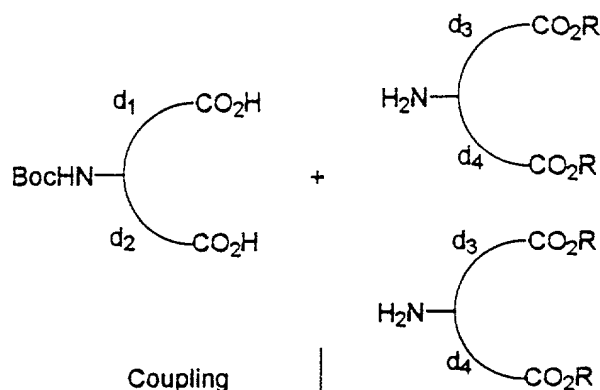
Fig. 13



50

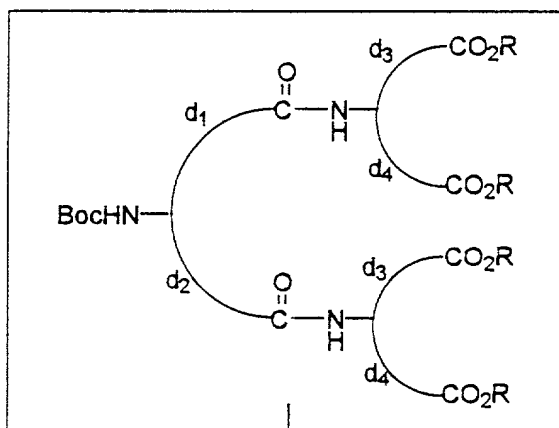
[R = HN-carbonate(*spacer*)-Camptothecin]

Octamer diagram

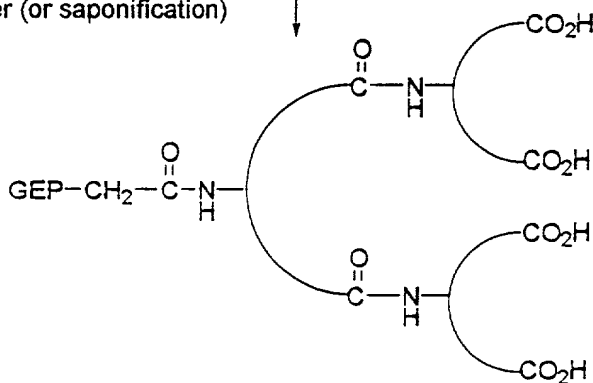


R = alkyl, etc. for ester

Figure 14



- (1) Pegylation with PEG acid or T-PEG
- (2) Hydrolysis of the ester (or saponification)



Coupling with *spacer-Drug*

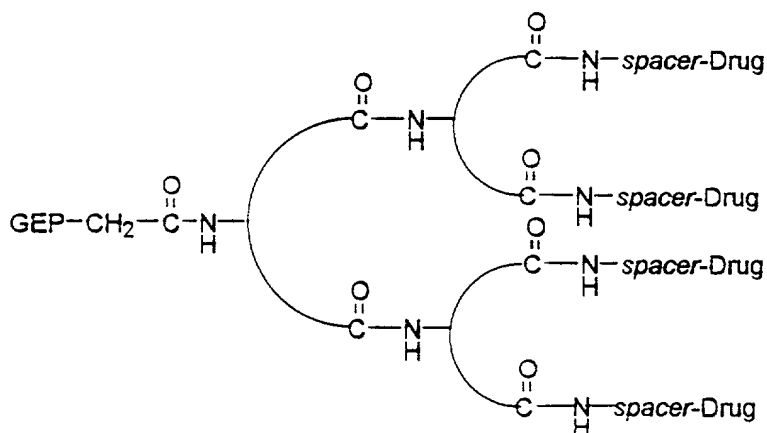
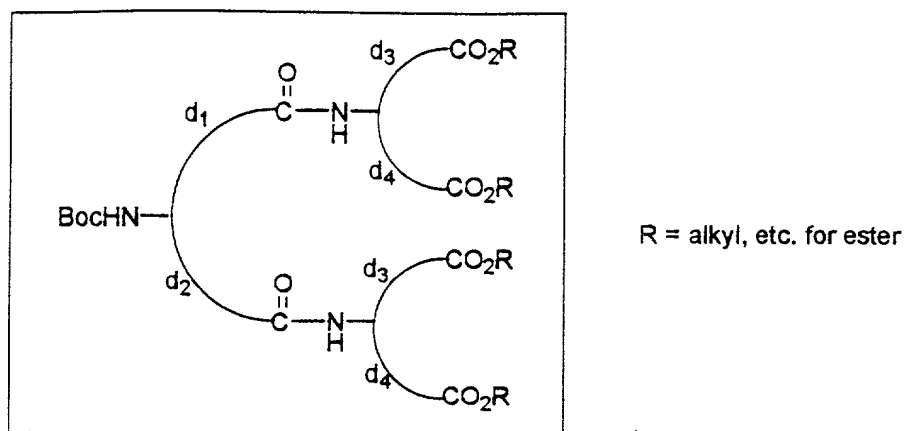
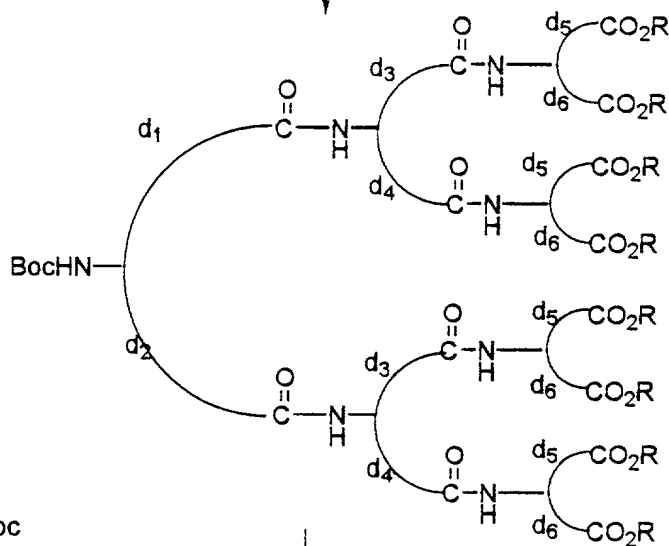
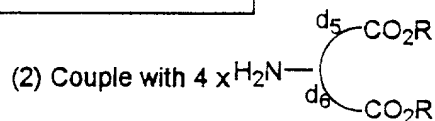


Figure 15



(1) Saponification

(2) Couple with 4 x



(1) Deprotection of Boc

(2) Pegylation with PEG acid or T-PEG

(3) Hydrolysis of the ester (or saponification)

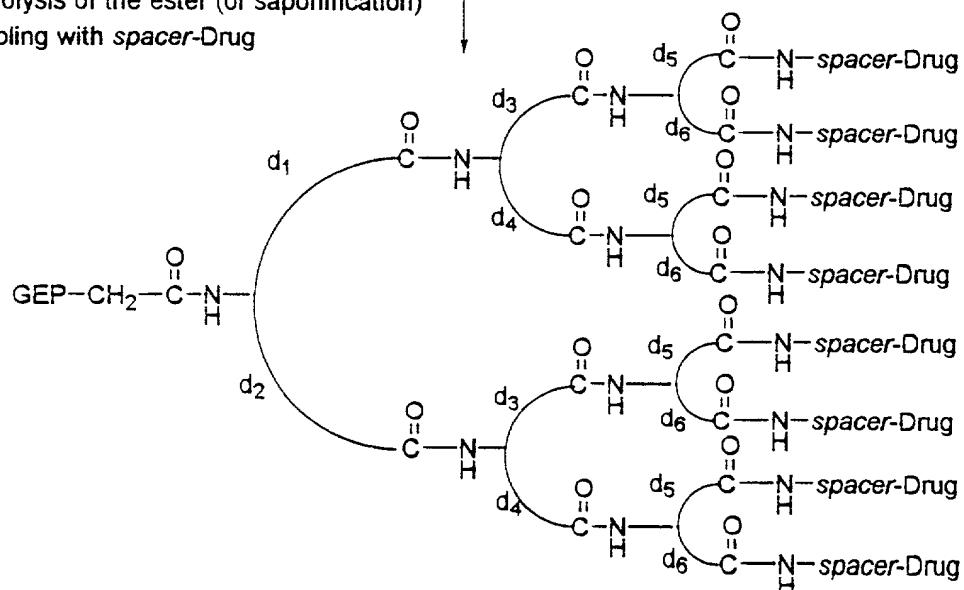
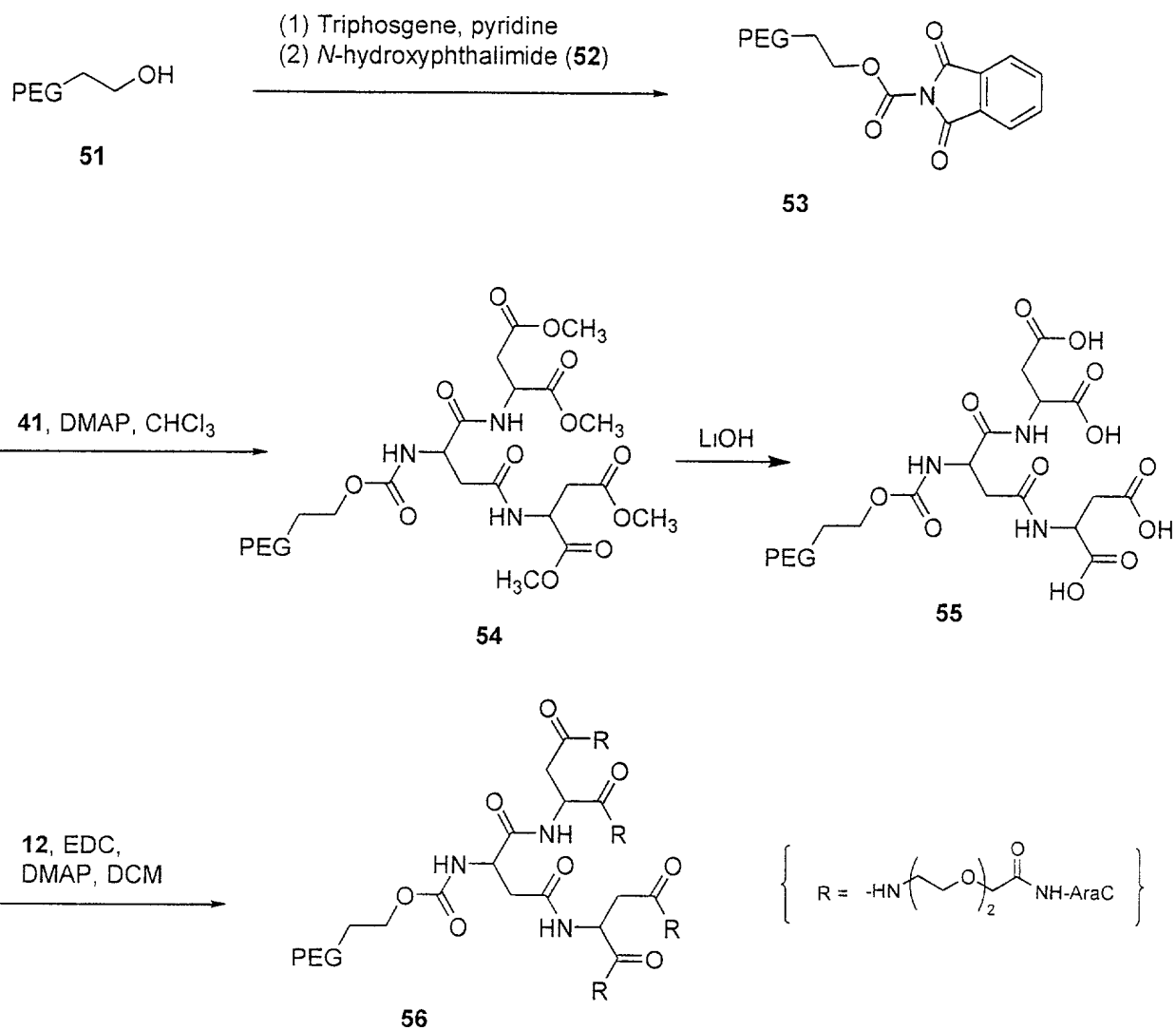
(4) Coupling with *spacer-Drug*

Figure 16



[illegible]